Racivir

Drug Class: **Nucleoside Reverse Transcriptase Inhibitors**

Drug Description

Racivir (RCV) is an oxothiolane nucleoside reverse transcriptase inhibitor similar to emtricitabine. [1] Racivir is a mixture of emtricitabine and its positive enantiomer. [2]

HIV/AIDS-Related Uses

Racivir is an investigational new drug that displays potent and selective activity against both HIV-1 and hepatitis B virus (HBV) in cell culture and in animal models. It has been well tolerated in preclinical safety assessment studies in animals and is now being studied in phase II/III clinical trials for the treatment of HIV-1.[3] [4]

Non-HIV/AIDS-Related Uses

Racivir is active in vitro against HBV.[5]

Pharmacology

In a Phase I/II dosing study, racivir was administered to HIV infected, treatment-naive, male volunteers in combination with stavudine (d4T) and efavirenz (EFV) for 14 days. Racivir was administered once daily at doses of 200, 400, or 600 mg. The combination regimens resulted in a rapid initial drop in viral load, with mean 10-fold reductions by Day 4. Mean HIV RNA levels continued to drop, though more slowly, through the end of treatment on Day 14, resulting in a greater than 20-fold reduction in viral load. Upon cessation of therapy, HIV RNA levels remained suppressed from all doses for more than 2 weeks. Viral load remained steady through Day 28. By Day 35, HIV RNA levels began to increase but still remained at least 10-fold less than baseline levels.[6]

Racivir displays excellent oral bioavailability in human preclinical studies.[7]

Racivir is currently being study in HIV infected patients for activity against the M184V HIV mutation.[8]

Adverse Events/Toxicity

Single and multiple doses of racivir appear well tolerated in early studies, with mild headache and fatigue occurring no more frequently than with placebo.[9]

Drug and Food Interactions

In previous clinical trials, racivir was administered with d4T and EFV. There was no evidence that coadministration of d4T and EFV had an adverse effect on the pharmacokinetics of racivir.[10]

Clinical Trials

For information on clinical trials that involve Racivir, visit the ClinicalTrials.gov web site at http://www.clinicaltrials.gov. In the Search box, enter: Racivir AND HIV Infections.

Dosing Information

Mode of Delivery: Oral.[11]

Dosage Form: Tablets containing 50 mg or 200 mg racivir. Currently, racivir is dosed once daily in clinical trials.[12]

Chemistry

CAS Name:
2',3'-Dideoxy-5-fluoro-3'-thiacytidine[13]

CAS Number: 143491-54-7[14]

Molecular formula: C8-H10-F-N3-O3-S[15]

C39.0%, H4.1%, F7.3%, N17.1%, O19.5%, S13.0%[16]

Molecular weight: 247.249[17]

Other Names

RCV[18]

(+)/(-)FTC[19]

Racivir

Further Reading

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Manufacturer Information

Racivir
Pharmasset, Inc.
US Research Operations
1860 Montreal Road
Tucker, GA 30084
(678) 395-0035

For More Information

Contact your doctor or an AIDSinfo Health Information Specialist:

• Via Phone: 1-800-448-0440 Monday - Friday, 12:00 p.m. (Noon) - 5:00 p.m. ET

• Via Live Help: http://aidsinfo.nih.gov/live_help Monday - Friday, 12:00 p.m. (Noon) - 4:00 p.m. ET

References

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